Answer 1:

Bibliographic Information

Antitumor activity of cis-malonato[(4R,5R)-4,5-bis(aminomethyl)-2- isopropyl-1,3-dioxolane]platinum(II), a new platinum analog, as an anticancer agent. Kim, Dae-Kee; Kim, Hun-Taek; Cho, Yong-Baik; Tai, Joo Ho; Ahn, Jae Suk; Kim, Taek-Soo; Kim, Key H.; Hong, Weon-Seon. Life Science Research Center, Sunkyong Industries, Suwon, S. Korea. Cancer Chemotherapy and Pharmacology (1995), 35(5), 441-5. CODEN: CCPHDZ ISSN: 0344-5704. Journal written in English. CAN 122:255659 AN 1995:475176 CAPLUS

Abstract

The in vitro and in vivo antitumor activity of a new antitumor platinum complex, cis-malonato[(4R, 5R)-4,5-bis(aminomethyl)-2-isopropyl-1,3-dioxolane]platinum(II) (SKI 2053R, NSC D644591), were evaluated and compared with those of cisplatin (CDDP) and carboplatin (CBDCA) using murine tumors. SKI 2053R was highly active in vitro against both L1210 murine leukemia and its CDDP-resistant subline, L1210/DDP; the relative resistances were 20.0-, 14.5-, and 2.7-fold for CDDP, CBDCA, and SKI 2053R, resp. SKI 2053R showed activity comparable with or superior to either CDDP or CBDCA in mice implanted with L1210. In mice implanted with L1210/DDP, as compared with CBDCA, SKI 2053R showed high values for the percentage of treated survivors relative to controls and for nos. of cured mice, whereas CDDP had virtually no activity. In mice implanted with P388, all three drugs were highly active, but the intensity of activity was shown to be ranked in the following order: SKI 2053R > CDDP > CBDCA. The antitumor activity of SKI 2053R against Lewis lung carcinoma was comparable with that of both CDDP and CBDCA. The antitumor activity of SKI 2053R was further investigated against two human tumor xenografts, KATO III (stomach adenocarcinoma) and WiDr (colon adenocarcinoma), implanted s.c. in nude mice and was compared with that of CDDP. In SKI 2053R-treated groups, the time required for a mean tumor wt. of 1,000 mg was 33.1 days in KATO III xenografts and 35.0 days in WiDr xenografts as compared with 30.2 and 27.2 days in CDDP-treated groups, resp. SKI 2053R achieved growth-inhibition rates comparable with those of CDDP against KATO III (65% vs. 59%) and WiDr xenografts (64% vs. 54%) on day 35. These results indicate that SKI 2053R is an attractive candidate for further development as a clin. useful anticancer drug.